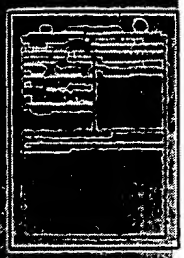

WO9839293A2: 13-THIA PROSTAGLANDINS FOR USE IN GLAUCOMA THERAPY

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Inventor(s): **ZINKE, Paul, W.** , 4129 Willow Way Road, Fort Worth, TX 76133, United States of America
HELLBERG, Mark, R. , 5211 Overridge Drive, Arlington, TX 76017, United States of America

Applicant(s): **ALCON LABORATORIES, INC.**, 6201 South Freeway, Fort Worth, TX 76134-2099, United States of America

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IPC Class: **C07C 405/00;**

Priority Number(s): March 7, 1997 **US1997060040051**

Designated Countries: AU, BR, CA, CN, JP, KR, MX, US, **European patent:** AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

Abstract: 13-thia prostaglandins are useful in the treatment of glaucoma and ocular hypertension. Also disclosed are ophthalmic, pharmaceutical compositions comprising said prostaglandins.
[Show "fr" Abstract]

Attorney, Agent, or Firm: **COPELAND, Barry, L.;**

Foreign References: **none**

(No patents reference this one)

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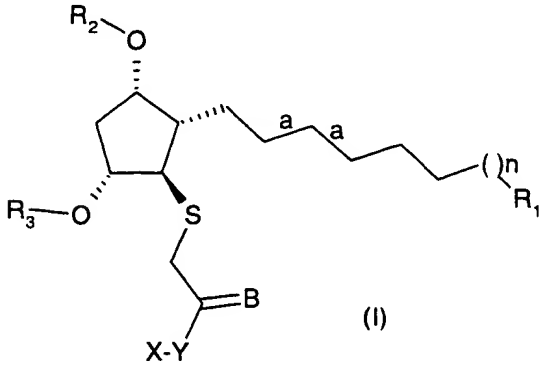
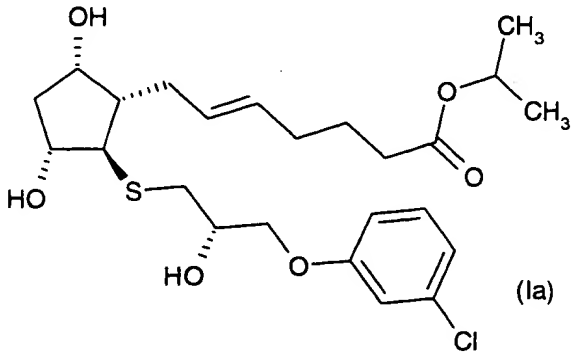
Use of 13-thiaprostaglandin derivatives - for the treatment of glaucoma and ocular hypertension.

Drug Activity: Ophthalmological; Hypotensive
Mechanism of Action: Prostaglandin
Compound Name: None Given



(I)



(Ia)

Use: For the treatment of glaucoma and ocular hypertension (claimed).
Dosage: 0.01-1000 (0.1-100) µg/eye topically.
Advantage: Reduced side effects, increased discrimination amongst receptors, improved therapeutic profile.
Biological Data: (Ia) was tested for its intraocular pressure (IOP) lowering effect in cynomologus monkey eyes where ocular hypertension had been induced. Baseline IOP values were determined prior to treatment and 16 hours after the fourth dose. 3.0 mg of (Ia) caused an 18 +/- 3.0% reduction in IOP, compared to a 5.8 +/- 4.0% reduction achieved under identical conditions using PGF2α. Also presented is data showing that (Ia) causes less conjunctival hyperemia, conjunctival swelling and discharge than PGF2β.
Chemistry: The use of 13-thia prostaglandins of formula (I) is claimed for the treatment of glaucoma and ocular hypertension.
R1 = CO2R, ester, CONR4R5, CH2OR6 or CH2NR7R8; R = H or a cationic salt thereof; R4, R5 = H or alkyl. R6 = H, acyl or alkyl; R7, R8 = H, acyl, or alkyl provided that if either R7 or R8 = acyl, then the other = H or alkyl; n = 0 or 2; R2, R3 = H, alkyl or acyl; B = H, and OH in either configuration, H and F in either configuration, double bonded O, or OCH2CH2O; X = (CH2)q or (CH2)qO; q = 1-6; Y = 1-6C alkyl group, or a phenyl ring (optionally substituted); or X-Y = (CH2)pY1; p = 0-6; Y1 = further defined aromatic moiety; a = single or double bond.
(I) is e.g. (5Z)-(9S, 11R, 15S)-9,11,15-trihydroxy-16-m-chlorophenoxy-13-thia-17,18,19,20-tetranor-5-prostenoic acid isopropyl ester (Ia) (Example II).

33 pages

Drawings 0/0

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